

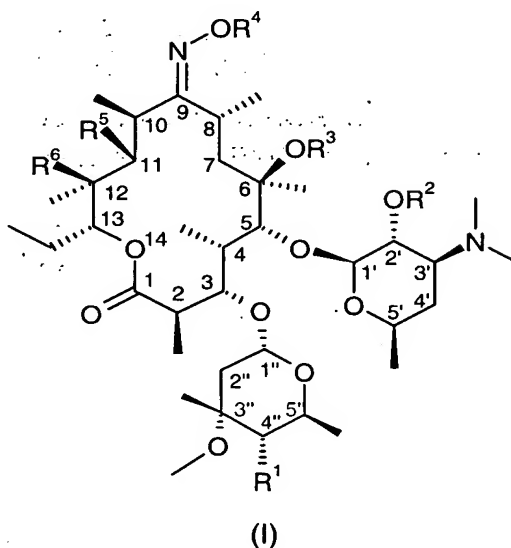
Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application:

Claims

What is claimed is:

1. (Original) A compound of general formula (I)



wherein

R¹ is OC(O)(CH₂)_mXR⁷;

R² is hydrogen or a hydroxyl protecting group;

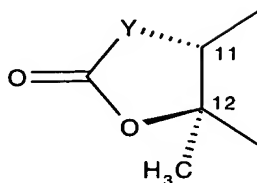
R³ is hydrogen, C₁₋₄alkyl or C₃₋₆alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

R⁴ is hydrogen, C₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₆alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, OR⁸, S(O)_nR⁸, NR⁸R⁹, CONR⁸R⁹, halogen and cyano;

R⁵ is hydroxy, C₃₋₆alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl, or O(CH₂)_pO(CH₂)_qR¹⁰,

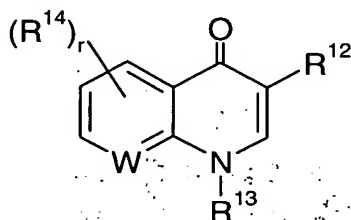
R⁶ is hydroxy, or

R⁵ and R⁶ taken together with the intervening atoms form a cyclic group having the following structure:

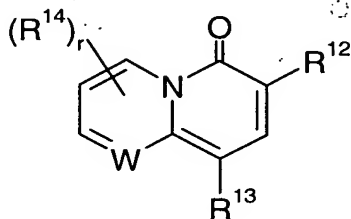


wherein Y is a bivalent radical selected from -CH₂-, -CH(CN)-, -O-, -N(R¹¹)- and -CH(SR¹¹)-;

R⁷ is a heterocyclic group having the following structure:



or



R⁸ and R⁹ are each independently selected from hydrogen and C₁₋₄alkyl;

R¹⁰ is hydrogen or NR⁸R⁹;

R¹¹ is hydrogen or C₁₋₄alkyl substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

R¹² is hydrogen, C(O)OR¹⁵, C(O)NHR¹⁵ or C(O)CH₂NO₂;

R¹³ is hydrogen, C₁₋₄alkyl optionally substituted by hydroxy or C₁₋₄alkoxy, C₃₋₇cycloalkyl, or optionally substituted phenyl or benzyl;

R¹⁴ is halogen, C₁₋₄alkyl, C₁₋₄thioalkyl, C₁₋₄alkoxy, NH₂, NH(C₁₋₄alkyl) or N(C₁₋₄alkyl)₂;

R¹⁵ is hydrogen or C₁₋₄alkyl optionally substituted by up to three groups independently selected from halogen, C₁₋₄alkoxy, OC(O)C₁₋₄alkyl and OC(O)OC₁₋₄alkyl;

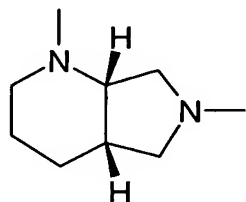
R¹⁶ is hydrogen, C₁₋₄alkyl, C₃₋₇cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

R¹⁷ is hydrogen or R¹⁴, or R¹⁷ and R¹³ are linked to form the bivalent radical -O(CH₂)₂- or -(CH₂)_v-;

X is $-U(CH_2)_sZ-$ or X is a group selected from:



and



U and Z independently are a divalent radical selected from $-N(R^{16})-$, $-O-$, $-S(O)_t-$, $-N(R^{16})C(O)-$, $-C(O)N(R^{16})-$ and $-N[C(O)R^{16}]-$;

W is CR^{17} or a nitrogen atom;

m is 0 or an integer from 1 to 5;

n, r and t are each independently selected from 0, 1 and 2;

p and q are each independently selected from 1 to 6 ;

s is an integer from 2 to 8; and

v is 2 or 3;

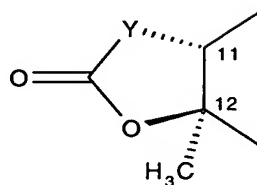
and pharmaceutically acceptable derivatives thereof.

2. (Original) A compound according to claim 1 wherein R^2 is hydrogen.

3. (Currently amended) A compound according to claim 1 ~~or 2~~ wherein R^3 is hydrogen.

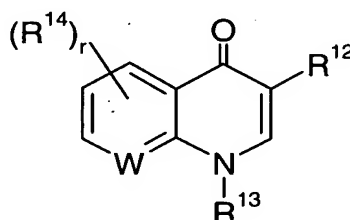
4. (Currently amended) A compound according to ~~any one of the preceding claims~~ claim 3 wherein R^4 is hydrogen or C_{1-4} alkyl optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heteroaryl, OR^8 , $S(O)_nR^8$, NR^8R^9 , halogen and cyano.

5. (Currently amended) A compound according to ~~any one of the preceding claims~~ claim 4 wherein R^5 is hydroxy or $O(CH_2)_pO(CH_2)_qR^{10}$ and R^6 is hydroxy, or R^5 and R^6 taken together with the intervening atoms form a cyclic group having the following structure:



wherein Y is the bivalent radical -O-.

6. (Currently amended) A compound according to ~~any one of the preceding claims~~ claim 5 wherein R⁷ is a heterocyclic group having the following structure:



wherein W is CR¹⁷ where R¹⁷ is hydrogen.

7. (Currently amended) A compound according to ~~any one of the preceding claims~~ claim 6 wherein X is -U(CH₂)_SZ- wherein U and Z are independently -NH- or -O-.

8. Cancelled

9. (Original) A compound selected from:

4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11-O-(2-dimethylaminoethoxymethyl)-(9E)-methoximino erythromycin A,

4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11,12-carbonate-(9E)-O-(2-propyl)oximino erythromycin A,

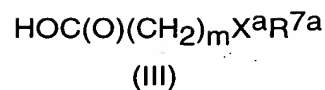
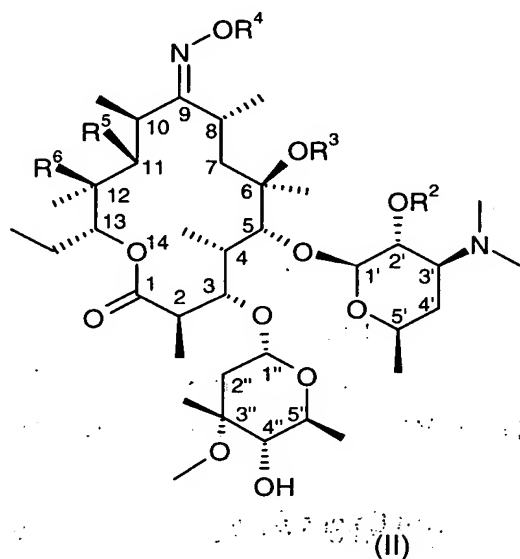
4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11,12-carbonate-(9E)-methoximino erythromycin A, and

4''-O-[3-[[2-[(3-carboxy-7-chloro-1-cyclopropyl-1,4-dihydro-4-oxo-6-quinoliny) amino]ethyl]amino]propionyl]-11,12-carbonate-(9E)-O-(ethoxymethyl)oximino erythromycin A,

or a pharmaceutically acceptable derivative thereof.

10. (Original) A process for the preparation of a compound as claimed in claim 1 which comprises:

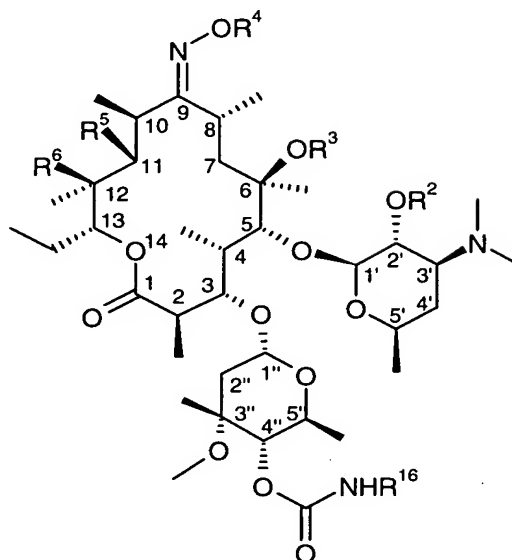
a) reacting a compound of formula (II)



with a suitable activated derivative of the acid (III), wherein m is an integer 1 to 5, X^a and R^{7a} are X and R^7 as defined in claim 1 or groups convertible to X and R^7 , to produce a compound of formula (I) wherein m is an integer 1 to 5;

b) reacting a compound of formula (II), in which the $4''$ hydroxy is suitably activated, with a compound of formula X^aR^{7a} (IV), wherein R^{7a} is R^7 as defined in claim 1 or a group convertible to R^7 , s and Z have the meanings defined in claim 1 and X^a is $-\text{U}(\text{CH}_2)_s\text{Z}-$ or a group convertible to $-\text{U}(\text{CH}_2)_s\text{Z}-$, in which U is a group selected from selected from $-\text{N}(\text{R}^{16})-$, $-\text{O}-$, and $-\text{S}-$, to produce a compound of formula (I) wherein m is 0 and U is a group selected from $-\text{N}(\text{R}^{16})-$, $-\text{O}-$ and $-\text{S}-$;

c) reacting a compound of formula (V)

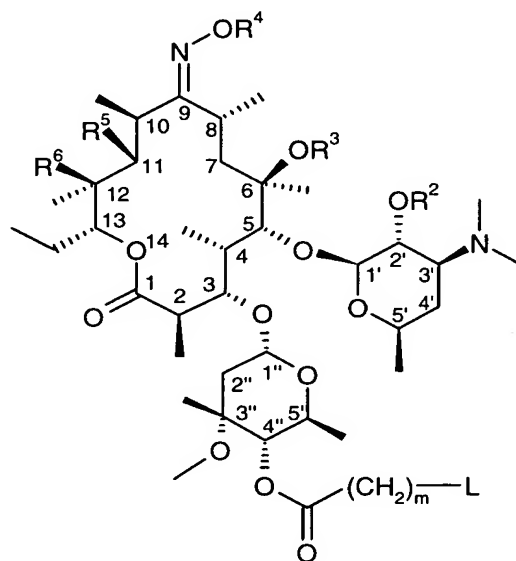


(V)

wherein R¹⁶ has the meaning defined in claim 1 with a suitable activated derivative of the carboxylic acid HOC(O)(CH₂)₅Z^aR^{7a} (VI), wherein R^{7a} and Z^a are R⁷ and Z as defined in claim 1 or groups convertible to R⁷ and Z, to produce a compound of formula (I) wherein m is 0 and U is -N(R¹⁶)C(O)-;

d) reacting a compound of formula (II) with a suitably activated derivative of the carboxylic acid $\text{HOC(O)C(O)N(R}^{16}\text{)(CH}_2\text{)}_5\text{Z}^{\text{a}}\text{R}^{7\text{a}}$ (VIIb) to produce a compound of formula (I) wherein m is 0 and U is $-\text{C(O)N(R}^{16}\text{)}-$;

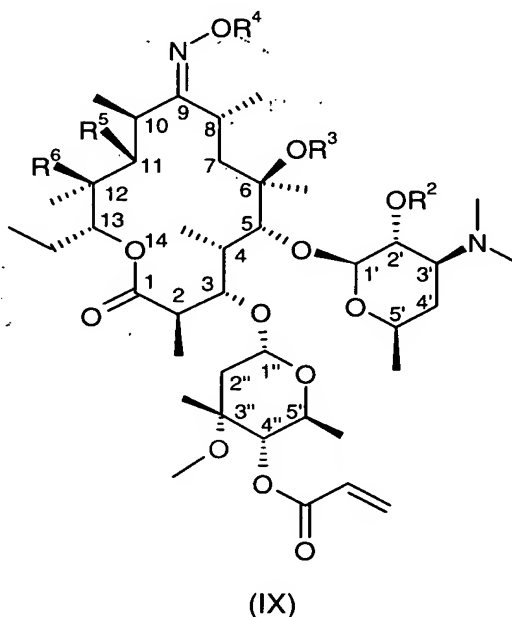
e) reacting a compound of formula (VII)



(VII)

with a compound of formula X^aR^{7a} (IV), wherein R^{7a} and X^a are R^7 and X as defined in claim 1 or groups convertible to R^7 and X , U is a group selected from - $N(R^{16})$ -, -O- and -S-, and L is suitable leaving group, to produce a compound of formula (I) wherein m is 1 to 5 and U is a group selected from - $N(R^{16})$ -, -O- and -S-;
 or

f) reacting a compound of formula (IX), with a compound of formula X^aR^{7a} (IV),



wherein R^{7a} and X^a are R^7 and X as defined in claim 1 or groups convertible to R^7 and X , U is a group selected from - $N(R^{16})$ -, -O- and -S-, to produce a compound of formula (I) wherein m is 2 and U is a group selected from - $N(R^{16})$ -, -O- and -S-;

and thereafter, if required, subjecting the resulting compound to one or more of the following operations:

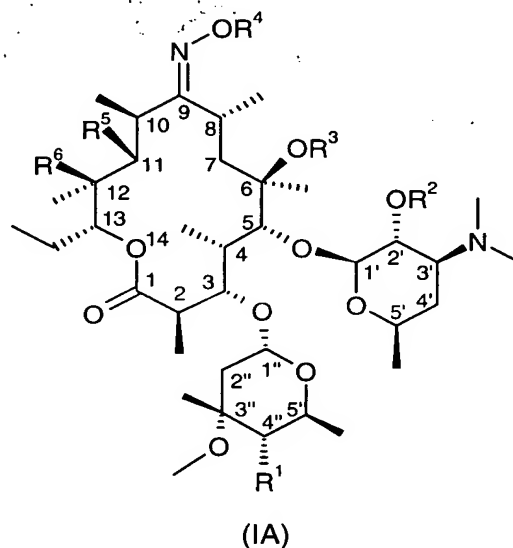
- i) removal of the protecting group R^2 ,
- ii) conversion of X^aR^{7a} or Z^aR^{7a} to XR^7 or ZR^7 respectively, and
- iii) conversion of the resultant compound of formula (I) into a pharmaceutically acceptable derivative thereof.

11.-13. Cancelled

14. (Currently amended) A pharmaceutical composition comprising a compound as ~~claimed any one of claims 1 to 9~~ according to claim 1 or a pharmaceutically acceptable derivative thereof in admixture with one or more pharmaceutically acceptable carriers or excipients.

15. (Currently amended) A method for the treatment of the human or non-human animal body to combat microbial infection comprising administration of an effective amount of a compound ~~as claimed in any one of claims 1 to 9~~ according to claim 1 or a pharmaceutically acceptable derivative thereof.

16. A compound of general formula (IA)



wherein

R¹ is OC(O)(CH₂)_mXR⁷;

R² is hydrogen or a hydroxyl protecting group;

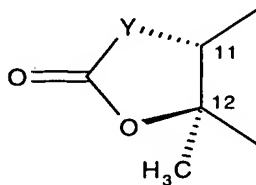
R³ is hydrogen, C₁₋₄alkyl or C₃₋₆alkenyl optionally substituted by 9 to 10 membered fused bicyclic heteroaryl;

R⁴ is hydrogen, C₁₋₄alkyl, C₃₋₇cycloalkyl, C₃₋₆alkenyl or a 5 or 6 membered heterocyclic group, wherein the alkyl, cycloalkyl, alkenyl and heterocyclic groups are optionally substituted by up to three substituents independently selected from optionally substituted 5 or 6 membered heterocyclic group, optionally substituted 5 or 6 membered heteroaryl, OR⁸, S(O)_nR⁸, NR⁸R⁹, CONR⁸R⁹, halogen and cyano;

R⁵ is hydroxy, C₃₋₆alkenyloxy optionally substituted by 9 to 10 membered fused bicyclic heteroaryl or O(CH₂)_pO(CH₂)_qR¹⁰,

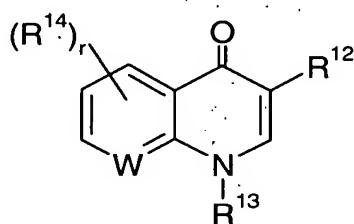
R⁶ is hydroxy, or

R⁵ and R⁶ taken together with the intervening atoms form a cyclic group having the following structure:

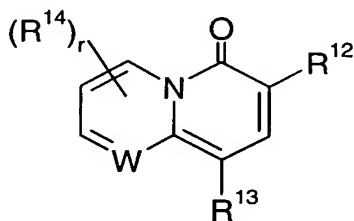


wherein Y is a bivalent radical selected from -CH₂-, -CH(CN)-, -O-, -N(R¹¹)- and -CH(SR₈)-;

R⁷ is a heterocyclic group having the following structure:



or



R⁸ and R⁹ are each independently selected from hydrogen and C₁₋₄alkyl;

R¹⁰ is hydrogen or NR⁸R⁹;

R¹¹ is hydrogen or C₁₋₄alkyl substituted by a group selected from optionally substituted phenyl, optionally substituted 5 or 6 membered heteroaryl and optionally substituted 9 to 10 membered fused bicyclic heteroaryl;

R¹² is hydrogen, C(O)OR¹⁵, C(O)NHR¹⁵ or C(O)CH₂NO₂;

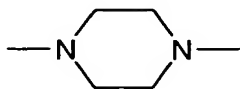
R¹³ is hydrogen, C₁₋₄alkyl, C₃₋₇cycloalkyl, or optionally substituted phenyl or benzyl;

R¹⁴ is halogen, C₁₋₄alkyl, C₁₋₄thioalkyl, C₁₋₄alkoxy, NH₂, NH(C₁₋₄alkyl) or N(C₁₋₄alkyl)₂;

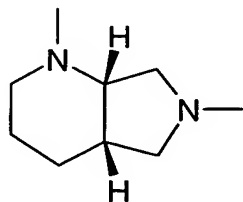
R¹⁵ is hydrogen or C₁₋₄alkyl;

R¹⁶ is hydrogen, C₁₋₄alkyl, C₃₋₇cycloalkyl, optionally substituted phenyl or benzyl, acetyl or benzoyl;

X is -U(CH₂)₅Z- or X is a group selected from:



and



U and Z independently are a divalent radical selected from $-N(R^{16})-$, $-O-$, $-S(O)_t-$, $-N(R^{16})C(O)-$, $-C(O)N(R^{16})-$ and $-N[C(O)R^{16}]-$;

W is a carbon or a nitrogen atom;

m is 0 or an integer from 1 to 5;

n, r and t are each independently selected from 0, 1 and 2;

p and q are each independently selected from 1 and 2; and

s is an integer from 2 to 8;

and pharmaceutically acceptable salts and solvates thereof.